

10/537,495

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substances identified in English-, French-, German-,
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	ENTRY	SESSION
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STRUCTURE FILE UPDATES: 12 DEC 2008 HIGHEST RN 1083471-57-1
DICTIONARY FILE UPDATES: 12 DEC 2008 HIGHEST RN 1083471-57-1

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L1 STRUCTURE UPLOADED

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L2 STRUCTURE UPLOADED

=> s l1 full

FULL SEARCH INITIATED 15:50:26 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 55 TO ITERATE

100.0% PROCESSED 55 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

L3 2 SEA SSS FUL L1

=> s l2 full

FULL SEARCH INITIATED 15:50:32 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED 1 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

L4 1 SEA SSS FUL L2

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FILE COVERS 1907 - 15 Dec 2008 VOL 149 ISS 25

FILE LAST UPDATED: 14 Dec 2008 (20081214/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

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=> s l3

L5 1 L3

=> s l4

L6 1 L4

=> s l5 or l6

L7 2 L5 OR L6

=> d bib abs hitstr 1-2 l7

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:568609 CAPLUS

DN 141:117169

TI Human SGLT1 inhibitors containing benzylphenyl glucopyranoside or galactopyranoside derivatives

IN Yonekubo, Shigeru; Shimizu, Kazuo; Shibazaki, Toshihide; Tomae, Masaki; Isaji, Masayuki

PA Kissei Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 90 pp.

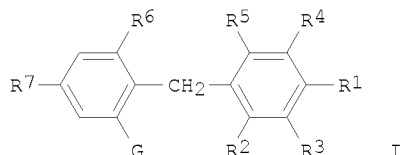
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2004196788	A	20040715	JP 2003-404247	20031203
PRAI	JP 2002-352251	A	20021204		
OS	MARPAT 141:117169				
GI					



AB The invention provides human glucose-sodium cotransporter (SGLT1) inhibitors containing benzylphenol derivative represented by the following general formula I [R₁ = OH, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, hydroxy(C1-6 alkyl), etc.; R₂ = H, C1-6 alkyl, C1-6 alkoxy, phenoxy, phenylthio, phenylamino, halogen; R₃, R₄, R₅ = H, C1-6 alkyl, C1-6 alkoxy, halogen; R₆ = H, C1-6 alkyl; R₇ = H, OH, amino, mono/di(C1-6 alkyl)amino, C1-6 alkyl, C1-6 alkoxy, hydroxy(C1-6 alkyl), carbamoyl(C1-6 alkyl); G = β-D-glucopyranosyl, β-D-galactopyranosyl] and pharmacol. acceptable salts or prodrugs thereof. A compound 5-hydroxy-3-methyl-2-[4-[(E)-2-[2-(sulfamoylamino)ethylcarbamoyl]vinyl]benzyl]phenyl β-D-glucopyranoside was prepared, and tested for its effect on human SGLT1 activity in vitro, and on blood glucose level in rats.

IT 721969-17-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

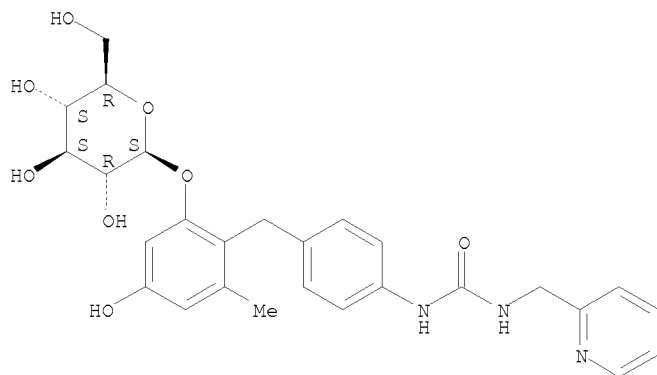
(human SGLT1 inhibitors containing benzylphenyl glucopyranoside or galactopyranoside derivs.)

RN 721969-17-1 CAPLUS

CN Urea, N-[4-[[2-(β-D-glucopyranosyloxy)-4-hydroxy-6-methylphenyl]methyl]phenyl]-N'-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

McIntosh



L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:182896 CAPLUS

DN 140:236000

TI Preparation of 4-benzylpyrazolyl glucopyranosides and galactopyranoside derivatives as sodium-glucose cotransporter (SGLT1) inhibitors, medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof

IN Fushimi, Nobuhiko; Shimizu, Kazuo; Yonekubo, Shigeru; Teranishi, Hirotaka; Tomae, Masaki; Isaji, Masayuki

PA Kissei Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 270 pp.

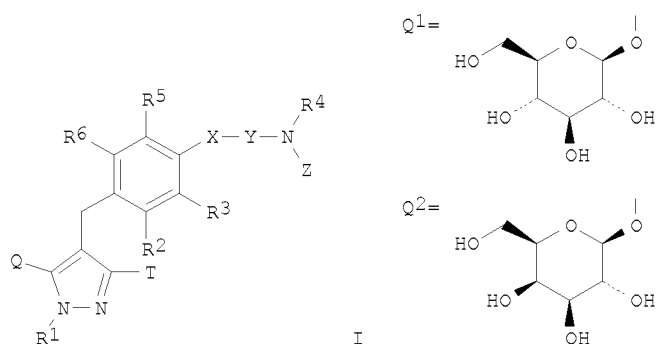
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2004018491	A1	20040304	WO 2003-JP10551	20030821	
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW		
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	JP 2004137245	A	20040513	JP 2002-324076	20021107	
	CA 2496329	A1	20040304	CA 2003-2496329	20030821	
	AU 2003262263	A1	20040311	AU 2003-262263	20030821	
	EP 1548024	A1	20050629	EP 2003-792760	20030821	
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK		
	BR 2003013694	A	20050705	BR 2003-13694	20030821	
	CN 1688597	A	20051026	CN 2003-824499	20030821	
	ZA 2005001549	A	20060726	ZA 2005-1549	20030821	
	NZ 538423	A	20070223	NZ 2003-538423	20030821	
	US 20050272669	A1	20051208	US 2005-525197	20050222	
	MX 2005PA02129	A	20050603	MX 2005-PA2129	20050223	
	NO 2005001411	A	20050426	NO 2005-1411	20050317	
	IN 2007DN07100	A	20071012	IN 2007-DN7100	20070913	
PRAI	JP 2002-244381	A	20020823			
	JP 2002-324076	A	20021107			
	WO 2003-JP10551	W	20030821			
	IN 2005-DN666	A3	20050221			
OS	MARPAT 140:236000					
GI						



AB Pyrazole derivs. represented by the general formula (I) [R₁ = H, C₁-6 alkyl, C₂-6 alkenyl, hydroxy-C₂-6 alkyl, C₃-7 cycloalkyl, C₃-7 cycloalkyl-C₁-6 alkyl, each (un)substituted aryl or aryl-C₁-6 alkyl; one of Q and T = Q¹ or Q² and the other = C₁-6 alkyl, halo-C₁-5 alkyl, C₁-6 alkoxy-C₁-6 alkyl, C₃-7 cycloalkyl; R₂ = H, halo, OH, C₁-6 alkyl, C₁-6 alkoxy, C₁-6 alkylthio, halo-C₁-6 alkyl, halo-C₁-6 alkoxy, C₁-6 alkoxy-C₁-6 alkoxy, C₃-7 cycloalkyl-C₂-6 alkoxy, etc.; X = a single bond, O, S; Y = optionally hydroxy-substituted C₁-6 alkylene or C₂-6 alkenylene; Z = RB, CORC, SO₂RC, CO(RD)RE, SO₂NHRF, C(:NRG)N(RH)RI; wherein RC = each (un)substituted aryl, heteroaryl, or C₁-6 alkyl; R₄, RB, RD, RE, RF = H, each (un)substituted aryl, heteroaryl, or C₁-6 alkyl; NR₄RB or NRDRE together forms (un)substituted C₂-6 cyclic amino; RG, RH, RI = H, (un)substituted C₁-6 alkyl, etc.; R₃, R₅, R₆ = H, halo, C₁-6 alkyl, C₁-6 alkoxy] or pharmacol. acceptable salts thereof are prepared. These compds. have excellent human SGLT1 inhibitory activity and are useful as preventives or therapeutic agents for diseases attributable to hyperglycemia such as diabetes, impaired glucose tolerance, fasting blood sugar abnormality, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, ischemic heart failure, edema, hyperuricemia, and gout and for diseases attributable to an increased blood galactose level such as galactosemia. For example, 3-(β-D-glucopyranosyloxy)-4-[[4-[3-[3-(2-hydroxy-1,1-dimethylethyl)ureido]propoxy]-2-methylphenyl]methyl]-5-isopropyl-1H-pyrazole in vitro inhibited the uptake of [14C]methyl α-D-glucopyranoside in CHO-K1 cells expressing human SGLT1 with IC₅₀ of 19 nM. For another example, 3-(β-D-glucopyranosyloxy)-4-[[4-(2-guanidinoethoxy)-2-methylphenyl]methyl]-5-isopropyl-1H-pyrazole at 1 mg/kg p.o. lowered the serum glucose concentration from 303±63 (control) to 165±17 mg/dL after 1 h in rats with streptozotocin-induced diabetes.

IT 666842-40-6P 666842-61-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

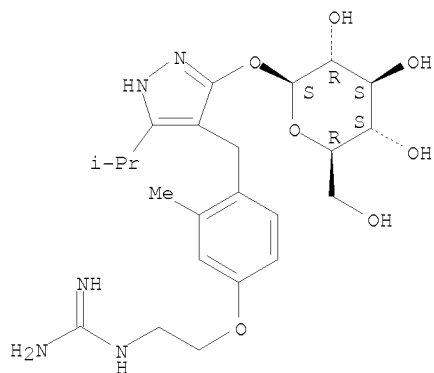
(preparation of benzylpyrazolyl glucopyranosides and galactopyranosides as sodium-glucose cotransporter (SGLT1) inhibitors for prevention or treatment of diseases attributable to hyperglycemia or galactosemia)

RN 666842-40-6 CAPLUS

CN Guanidine, [2-[4-[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

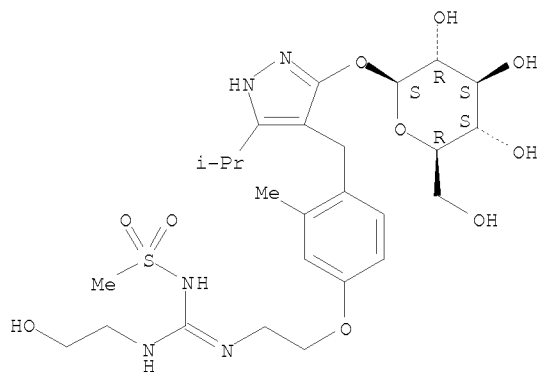
10/537,495



RN 666842-61-1 CAPLUS

CN Methanesulfonamide, N-[[[2-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]ethyl]amino] [(2-hydroxyethyl)amino]methylene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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